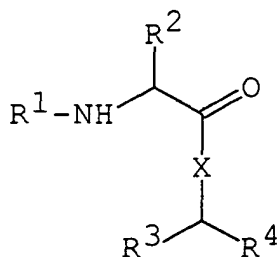


C L A I M S

1. A fatty acid derivative represented by the following formula :



wherein

R¹ is acyl group,

R² is acyl(lower)alkyl,

R³ is hydrogen, aryl(lower)alkyl which may have one or more suitable substituent(s), aryl(higher)-alkyl which may have one or more suitable substituent(s), heterocyclic(lower)alkyl which may have one or more suitable substituent(s), higher alkoxy(lower)alkyl, lower alkyl, or higher alkyl, R⁴ is acyl(lower)alkyl, and

X is -O-, -NH- or $\begin{array}{c} \text{R}^5 \\ | \\ \text{-N-} \end{array}$
 [wherein R⁵ is lower alkyl,
 [cyclo(lower)alkyl](lower)alkyl,
 aryl(lower)alkyl, or
 heterocyclic(lower)alkyl],

with proviso that X is $\begin{array}{c} \text{R}^5 \\ | \\ \text{-N-} \end{array}$ (wherein R⁵ is as defined above), when R³ is lower alkyl or higher alkyl, and a pharmaceutically acceptable salt thereof.

2. A compound of claim 1, wherein

R^1 is protected carboxy;

aryl(lower)alkanoyl which may have 1 to 3 suitable
substituent(s) selected from the group consisting
of lower alkoxy, aryl, carboxy(lower)alkyl,
protected carboxy(lower)alkyl which may be
substituted by aryl, protected
carboxy(lower)alkenyl, amidated
carboxy(lower)alkyl, and aryl(lower)alkyl which may
have 1 to 3 suitable substituent(s) selected from
the group consisting of lower alkyl, higher alkyl,
lower alkoxy, aryl and halogen;

heterocyclic(lower)alkanoyl which may have 1 to 3
suitable substituent(s) selected from the group
consisting of lower alkyl, aryl(lower)alkyl which
may have 1 to 3 suitable substituent(s) selected
from the group consisting of lower alkyl, higher
alkyl, lower alkoxy, aryl and halogen, and
heterocyclic(lower)alkyl which may have 1 to 3
suitable substituent(s) selected from the group
consisting of lower alkyl, higher alkyl, lower
alkoxy, aryl and halogen;

R^2 is carboxy(lower)alkyl or protected
carboxy(lower)alkyl,

R^3 is hydrogen;

aryl(lower)alkyl which may have 1 to 3 suitable
substituent(s) selected from the group consisting
of lower alkyl, higher alkyl, lower alkoxy, aryl
and halogen;

aryl(higher)alkyl which may have 1 to 3 suitable
substituent(s) selected from the group consisting
of lower alkyl, higher alkyl, lower alkoxy, aryl
and halogen;

heterocyclic(lower)alkyl which may have 1 to 3
suitable substituent(s) selected from the group

consisting of lower alkyl, higher alkyl, lower
alkoxy, aryl and halogen;
higher alkoxy(lower)alkyl;
lower alkyl; or
higher alkyl,

R⁴ is carbamoyl(lower)alkyl, and

X is -O-, -NH- or $\begin{array}{c} \text{R}^5 \\ | \\ \text{-N-} \end{array}$
[wherein R⁵ is lower alkyl, [cyclo(lower)alkyl]-
(lower)alkyl, aryl(lower)alkyl, or
heterocyclic(lower)alkyl],

with proviso that X is $\begin{array}{c} \text{R}^5 \\ | \\ \text{-N-} \end{array}$ (wherein R⁵ is as defined
above), when R³ is lower alkyl or higher alkyl.

3. A compound of claim 2, wherein

R¹ is lower alkoxy carbonyl;

phenyl(lower)alkanoyl or naphthyl(lower)alkanoyl,
each of which may have 1 to 3 suitable
substituent(s) selected from the group consisting
of carboxy(lower)alkyl, lower
alkoxy carbonyl(lower)alkyl which may be substituted
by phenyl, lower alkoxy carbonyl(lower)alkenyl,
carbamoyl(lower)alkyl and phenyl(lower)alkyl; or
heterocyclic(lower)alkanoyl which may have 1 to 3
suitable substituent(s) selected from the group
consisting of pyridyl(lower)alkyl,
naphthyl(lower)alkyl and phenyl(lower)alkyl which
may have 1 to 3 suitable substituent(s) selected
from the group consisting of lower alkyl and
halogen, in which the heterocyclic moiety is
unsaturated condensed heterocyclic group containing
1 to 4 nitrogen atom(s),

R² is carboxy(lower)alkyl or
esterified carboxy(lower)alkyl,

R³ is hydrogen;

phenyl(lower)alkyl which may have 1 to 3 suitable
substituent(s) selected from the group consisting
of lower alkyl, higher alkyl and phenyl;

naphthyl(lower)alkyl which may be substituted by
lower alkyl;

phenyl(higher)alkyl;

heterocyclic(lower)alkyl, in which the heterocyclic
moiety is unsaturated condensed heterocyclic group
containing 1 to 2 oxygen atom(s);

higher alkoxy(lower)alkyl;

lower alkyl; or

higher alkyl,

R⁴ is carbamoyl(lower)alkyl, and

X is -O-, -NH- or $\begin{array}{c} \text{R}^5 \\ | \\ \text{-N-} \end{array}$

[wherein R⁵ is lower alkyl, phenyl(lower)alkyl, or
pyridyl(lower)alkyl],

with proviso that X is $\begin{array}{c} \text{R}^5 \\ | \\ \text{-N-} \end{array}$ (wherein R⁵ is as defined
above), when R³ is lower alkyl or higher alkyl.

4. A compound of claim 3, wherein

R¹ is lower alkoxycarbonyl;

phenyl(lower)alkanoyl or naphthyl(lower)alkanoyl,

each of which may have carboxy(lower)alkyl, lower
alkoxycarbonyl(lower)alkyl which may be substituted
by phenyl, lower alkoxycarbonyl(lower)alkenyl,

carbamoyl(lower)alkyl or phenyl(lower)alkyl;

heterocyclic(lower)alkanoyl which may have

pyridyl(lower)alkyl, naphthyl(lower)alkyl or

phenyl(lower)alkyl which may have 1 to 3 suitable
substituent(s) selected from the group consisting
of lower alkyl and halogen, in which the
heterocyclic moiety is indolyl, quinolyl or
isoquinolyl,

R² is carboxy(lower)alkyl,
lower alkoxy(alkyl)(lower)alkyl, or
phenyl(lower)alkoxy(alkyl)(lower)alkyl,

R³ is hydrogen;

phenyl(lower)alkyl which may have lower alkyl,
(C₇-C₁₆)alkyl or phenyl;
naphthyl(lower)alkyl which may have lower alkyl;
phenyl(C₇-C₁₆)alkyl;
benzofuranyl(lower)alkyl;
(C₇-C₁₆)alkoxy(lower)alkyl;
lower alkyl; or
(C₇-C₁₆)alkyl,

R⁴ is carbamoyl(lower)alkyl, and

X is -O-, -NH- or $\begin{array}{c} \text{R}^5 \\ | \\ \text{-N-} \end{array}$
[wherein R⁵ is lower alkyl,
phenyl(lower)alkyl, or
pyridyl(lower)alkyl],

with proviso that X is $\begin{array}{c} \text{R}^5 \\ | \\ \text{-N-} \end{array}$ (wherein R⁵ is as defined
above), when R³ is lower alkyl or (C₇-C₁₆)alkyl.

5. A compound of claim 4, wherein

R¹ is (C₁-C₄)alkoxy(alkyl)carbonyl;
phenyl(C₁-C₄)alkanoyl or naphthyl(C₁-C₄)alkanoyl,
each of which may have carboxy(C₁-C₄)alkyl,
(C₁-C₄)alkoxy(alkyl)carbonyl(C₁-C₄)alkyl which may be
substituted by phenyl, (C₁-C₄)alkoxy(alkyl)carbonyl-

(C₂-C₄)alkenyl, carbamoyl(C₁-C₄)alkyl or phenyl(C₁-C₄)alkyl; heterocyclic(C₁-C₄)alkanoyl which may have pyridyl(C₁-C₄)alkyl, naphthyl(C₁-C₄)alkyl or phenyl(C₁-C₄)alkyl which may have 1 to 3 suitable substituent(s) selected from the group consisting of (C₁-C₄)alkyl and halogen, in which the heterocyclic moiety is indolyl, quinolyl or isoquinolyl,

R² is carboxy(C₁-C₄)alkyl, methoxycarbonyl(C₁-C₄)alkyl, or benzyloxycarbonyl(C₁-C₄)alkyl,

R³ is hydrogen;

phenyl(C₁-C₄)alkyl which may have (C₁-C₄)alkyl, (C₇-C₁₆)alkyl or phenyl; naphthyl(C₁-C₄)alkyl which may have (C₁-C₄)alkyl; phenyl(C₇-C₁₆)alkyl; benzofuranyl(C₁-C₄)alkyl; (C₇-C₁₆)alkoxy(C₁-C₄)alkyl; (C₃-C₆)alkyl; or (C₇-C₁₆)alkyl,

R⁴ is carbamoyl(C₁-C₄)alkyl, and

X is -O-, -NH- or $\begin{array}{c} \text{R}^5 \\ | \\ \text{-N-} \end{array}$

[wherein R⁵ is (C₁-C₅)alkyl, phenyl(C₁-C₄)alkyl, or pyridyl(C₁-C₄)alkyl],

with proviso that X is $\begin{array}{c} \text{R}^5 \\ | \\ \text{-N-} \end{array}$ (wherein R⁵ is as defined above), when R³ is (C₃-C₆)alkyl or (C₇-C₁₆)alkyl.

6. A compound of claim 4, wherein

R¹ is indolyl(lower)alkanoyl which may have a suitable substituent selected from the group consisting of pyridyl(lower)alkyl, naphthyl(lower)alkyl,

phenyl(lower)alkyl, lower alkylphenyl(lower)alkyl,
and halophenyl(lower)alkyl,

R² is carboxy(lower)alkyl,

R³ is lower alkyl or (C₇-C₁₆)alkyl,

5 R⁴ is carbamoyl(lower)alkyl, and

X is $\begin{array}{c} \text{R}^5 \\ | \\ \text{-N-} \end{array}$

[wherein R⁵ is lower alkyl].

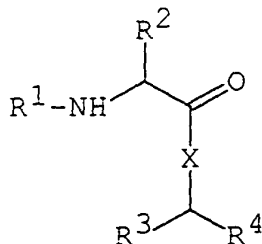
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7. A compound of claim 6, which is selected from the group consisting of

- 15 (1) (3S)-3-[N-(n-Propyl)-{(2S)-5-carboxy-2-[(1-(2-chlorobenzyl)indol-3-ylcarbonyl)amino]pentanoyl}-amino]nonanamide,
- (2) (3S)-3-[N-(n-Propyl)-{(2S)-2-(1-(2-chlorobenzyl)indol-3-ylcarbonyl)amino-5-carboxypentanoyl}amino]heptanamide,
- 20 (3) (3S)-3-[N-(n-Propyl)-{(2S)-2-(1-benzylindol-3-ylcarbonyl)amino-5-carboxypentanoyl}amino]-dodecanamide,
- (4) (3S)-3-[N-(n-Propyl)-{(2S)-2-(1-(2-chlorobenzyl)indol-3-ylcarbonyl)amino-5-carboxypentanoyl}amino]dodecanamide,
- 25 (5) (3S)-3-[N-Ethyl-{(2S)-2-(1-(2-chlorobenzyl)indol-3-ylcarbonyl)amino-5-carboxypentanoyl}amino]-nonanamide,
- (6) (3S)-3-[N-Ethyl-{(2S)-2-(1-benzylindol-3-ylcarbonyl)amino-5-carboxypentanoyl}amino]-nonanamide,
- 30 (7) (3S)-3-[N-(n-Butyl)-{(2S)-2-(1-(1-naphthylmethyl)-indol-3-ylcarbonyl)amino-5-carboxypentanoyl}amino]-heptanamide, and
- (8) (3S)-3-[N-(n-Propyl)-{(2S)-2-(1-benzylindol-3-ylcarbonyl)amino-5-carboxypentanoyl}amino]-
- 35

nonanamide,
or a pharmaceutically acceptable salt thereof.

8. A process for preparing a compound of the formula :



wherein

R^1 is acyl group,

R^2 is acyl(lower)alkyl,

R^3 is hydrogen, aryl(lower)alkyl which may have one or more suitable substituent(s), aryl(higher)-alkyl which may have one or more suitable substituent(s), heterocyclic(lower)alkyl which may have one or more suitable substituent(s), higher alkoxy(lower)alkyl, lower alkyl, or higher alkyl,
 R^4 is acyl(lower)alkyl, and

X is $-\text{O}-$, $-\text{NH}-$ or $-\text{N}-$
[wherein R^5 is lower alkyl,
[cyclo(lower)alkyl] (lower)alkyl,
aryl(lower)alkyl, or
heterocyclic(lower)alkyl],

with proviso that X is $-\text{N}-$ (wherein R^5 is as defined above), when R^3 is lower alkyl or higher alkyl,
or a salt thereof, which comprises

1) reacting the compound of the formula :



10 wherein R^1 and R^2 are each as defined above,
or a reactive derivative at the carboxy group
or a salt thereof, with the compound of the formula :



20 wherein R^3 , R^4 and X are each as defined above,
or a salt thereof,

2) reacting the compound of the formula :



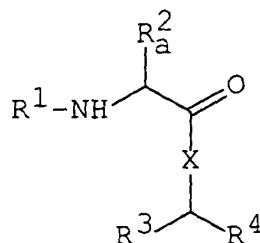
35 wherein R^2 , R^3 , R^4 and X are each as defined above,
or a reactive derivative at the amino group

or a salt thereof, with the compound of the formula :



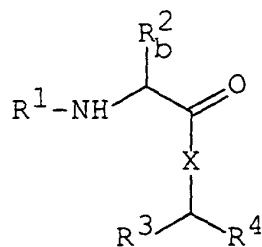
wherein R^1 is as defined above,
or a reactive derivative or a salt thereof,

3) subjecting the compound of the formula :



wherein R^1 , R^3 , R^4 and X are each as defined above,
and

R_a^2 is protected carboxy(lower)alkyl,
or a salt thereof, to elimination reaction of carboxy
protective group, to give the compound of the formula :



wherein R^1 , R^3 , R^4 and X are each as defined above,
and

R_6^2 is carboxy(lower)alkyl,
or a salt thereof.

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9. A pharmaceutical composition which comprises, as an active ingredient, a fatty acid derivative of claim 1 or a pharmaceutically acceptable salt thereof in admixture with pharmaceutically acceptable carriers or excipients.

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10. Use of a fatty acid derivative of claim 1 or a pharmaceutically acceptable salt thereof for the manufacture of a medicament.

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11. A fatty acid derivative of claim 1 or a pharmaceutically acceptable salt thereof for use as a medicament.

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12. A method for the prevention and/or the treatment of pancreatitis, hepatitis, chronic renal failure, shock, arthritis, respiratory disease, heart disease, allergic disease, thrombosis, arteriosclerosis, pain, autoimmune disease, dermal disease, inflammatory bowel disease, ophthalmic disease, nasal diseases, gout, trauma induced inflammation or liver diseases, which comprises administering a fatty acid derivative of claim 1 or a pharmaceutically acceptable salt thereof to a human being or an animal.

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